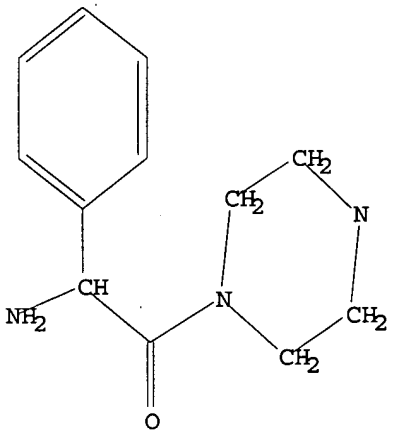
clm 38

exact/norm bonds :
1-2 9-10 9-17
exact bonds :
2-3 2-9 10-11 10-15 11-12 12-13 13-14 14-15
normalized bonds :
3-4 3-8 4-5 5-6 6-7 7-8
isolated ring systems :
containing 10 :

Match level :
1:CLASS 2:CLASS 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 17:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 10:06:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 114 TO ITERATE

100.0% PROCESSED 114 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1640 TO 2920
PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 10:06:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2415 TO ITERATE

100.0% PROCESSED 2415 ITERATIONS 73 ANSWERS
SEARCH TIME: 00.00.01

L3 73 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	172.31

FILE 'CAPLUS' ENTERED AT 10:07:00 ON 10 JAN 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 10 Jan 2007 VOL 146 ISS 3
FILE LAST UPDATED: 9 Jan 2007 (20070109/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l3
L4 21 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1159256 CAPLUS
DOCUMENT NUMBER: 145:471852
TITLE: Preparation of N-(4-pyrimidinylcarbonyl) amino acid piperazides and their use as P2Y12 receptor antagonists
INVENTOR(S): Caroff, Eva; Fretz, Heinz; Hilpert, Kurt; Houille, Olivier; Hubler, Francis; Meyer, Emmanuel
PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd, Switz.
SOURCE: PCT Int. Appl., 381pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

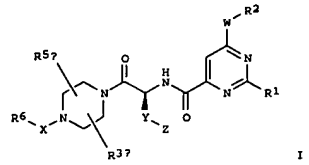
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006114774	A2	20061102	WO 2006-IB51318	20060427

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

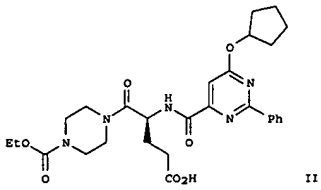
PRIORITY APPLN. INFO.: WO 2005-EP4578 A 20050428
WO 2005-IB53711 A 20051110

OTHER SOURCE(S): MARPAT 145:471852
GI

L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I

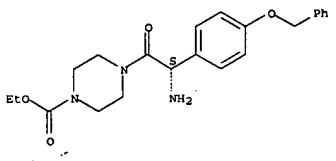


II

AB The invention relates to the preparation of title compds. I [R1 = (un)substituted Ph; W = a bond and R2 = CN, halo/alkoxy/heterocyclyl/cyclo/cycloalkyl/alkyl, hetero/ary/, heterocyclyl, (partially) saturated heterocyclyl; (un)substituted hydroxyalkyl; W = CH2 and R2 = NR7R8, SR9, SO2R10; W = O, S, and R2 = alkoxycarbonyl/carboxy/hydroxy/alkoxy/heterocyclyl/cyclo/ar/heteroaryl/alkyl, hetero/aryl; W = NH and derivs. and R2 = H, dialkylamino/alkoxycarbonyl/hydroxy/alkoxy/cyclo/heterocyclyl/cycloalkyl/aryl/diphenyl/heteroaryl/alkyl, aryl, 2-phenylcyclopropyl, COR11, SO2R12, (un)substituted carboxyalkyl; W = CH:CH and R2 = hydroxy/alkoxy/alkyl alkoxycarbonyl, Ph, or CONR13R14; ; or W = C.tplbond.C and R2 = H, hydroxy/alkoxy/alkyl; or W = CO and R2 = alkyl; W = NR3 and NR2R3 = 4-7 membered heterocyclyl; or W = NR3 and NR2R3 = (un)substituted imidazoyl, pyrazolyl, 1,2,3-triazolyl, etc.; R5a, R5b = independently H, Me; R3 = H, alkyl; R7 aryl/alkyl; or NR7R8 = (un)substituted 4-7 membered heterocyclyl; R9 = cycloalkyl, aryl; R10 = cyclo/alkyl, aryl; R11 = alkoxy/alkyl, hetero/aryl, etc.; R12 = alkyl, aryl; R13, R14 = independently alkyl; X = CO and R6 = cyclo/alkyl, alk(ynyl)oxy, aryloxy, aralkoxy, hetero/aryl,aralkyl or NH2 and derivs.; or X = SO2 and R6 = alkyl; Y = a bond and Z = H, aryl substituted by carboxyalkoxy; or Y = alkoxy/Ph/alkoxyphenyl/alkylene, alkoxyphenylene and Z = H, OH, NH2, CO2H,

L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
tetrazolyl, CONH2, COOR17, NHCOR17, NHSO2R17; R17 = alkyl], as P2Y12 receptor antagonists. The invention also relates to the use of pyrimidines I and their stereoisomers, salts, solvent complexes and morphol. forms, in the treatment and/or prevention of peripheral vascular, visceral-, hepatic- and renal-vascular, of cardiovascular and of cerebrovascular diseases (no data) or conditions assocd. with platelet aggregation (no data), particularly thrombosis (no data). Thus, a multi-step synthesis starting from Z-L-Glu(Ot-Bu)-OH (Z = benzyloxycarbonyl) and 1-ethoxycarbonylpiperazine was given for amino acid piperazide II. In a P2Y12 binding assay, II had an IC50 = 117 nM.
IT 913952-78-0P, 4-[(S)-2-Amino-2-(4-benzyloxyphenyl)ethanoyl]piperazine-1-carboxylic acid ethyl ester hydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of N-(4-pyrimidinylcarbonyl) amino acid piperazides and their use as P2Y12 receptor antagonists)
RN 913952-78-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(2S)-amino[4-(phenylmethoxy)phenyl]acetyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1350335 CAPLUS
DOCUMENT NUMBER: 144:88307
TITLE: Preparation of quinazoline derivatives as CCR4 function controllers
INVENTOR(S): Kawano, Noriyuki; Ishikawa, Noriko; Kaizawa, Hiroyuki; Masuda, Naoyuki; Hamaguchi, Wataru; Koganemaru, Yohei; Kato, Koji; Miyazaki, Takahiro
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123697	A1	20051229	WO 2005-JP11174	20050617

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2004-183086 A 20040621

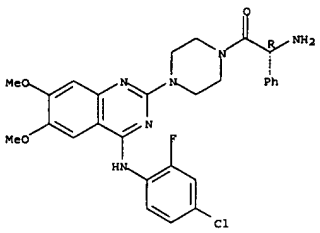
OTHER SOURCE(S): MARPAT 144:88307
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = alkyl, OH, halo, etc.; m = 0-2; A = (un)substituted phenyl; (un)substituted monocyclic cycloalkyl; R2, R3 = H, alkyl; n = 1, 2; X = bond, alkylene; B = optionally substituted mono or bicyclic nitrogenous heterocycle with alkyl, alkenyl, halo, etc., CR5R6NR7R8; R5, R6 = H, alkyl, cycloalkyl, etc.; R7, R8 = H, alkyl, monocyclic cycloalkyl, etc.] were prepared For example, WSC·HCl mediated acylation of N-(4-chloro-2-fluorophenyl)-2-(1,4-diazepan-1-yl)-6,7-dimethoxyquinazolin-4-amine dihydrochloride, e.g., prepared from 2,4-dichloro-6,7-dimethoxyquinazoline in 2 steps, with (S)-[1-(tert-butoxycarbonyl)pyrrolidin-2-yl]acetic acid followed by treatment with HCl afforded compound II·2HCl. In GTPγS binding assays, the IC 50 value of compound II·2HCl was 63 nM. Compds. I are claimed useful for the treatment of inflammation, autoimmune diseases, etc.
IT 872106-73-5P 872106-76-8P 872106-78-0P

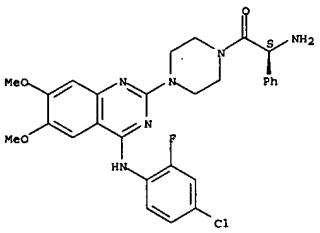
L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
872106-79-1P 872106-80-4P 872106-81-5P
872106-82-6P 872106-83-7P 872106-84-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazoline derivs. as CCR4 function controllers for treatment of inflammation, autoimmune diseases, etc.)
RN 872106-73-5 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[4-[(4-chloro-2-fluorophenyl)amino]-6,7-dimethoxy-2-quinazolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

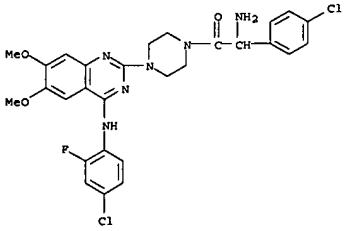


RN 872106-76-8 CAPLUS
CN Piperazine, 1-[(2S)-aminophenylacetyl]-4-[4-[(4-chloro-2-fluorophenyl)amino]-6,7-dimethoxy-2-quinazolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

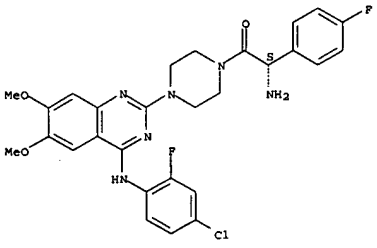


L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



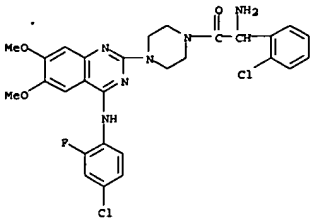
RN 872106-81-5 CAPLUS
CN Piperazine, 1-[(2S)-amino(4-fluorophenyl)acetyl]-4-[4-[(4-chloro-2-fluorophenyl)amino]-6,7-dimethoxy-2-quinazolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

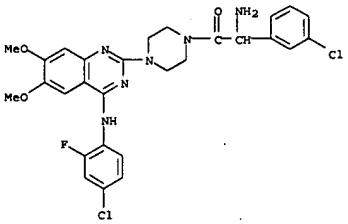


RN 872106-82-6 CAPLUS
CN Piperazine, 1-[amino(3,4-dichlorophenyl)acetyl]-4-[4-[(4-chloro-2-fluorophenyl)amino]-6,7-dimethoxy-2-quinazolinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 872106-78-0 CAPLUS
CN Piperazine, 1-[amino(2-chlorophenyl)acetyl]-4-[4-[(4-chloro-2-fluorophenyl)amino]-6,7-dimethoxy-2-quinazolinyl]- (9CI) (CA INDEX NAME)

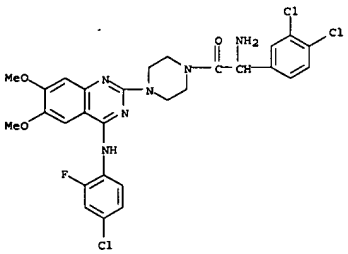


RN 872106-79-1 CAPLUS
CN Piperazine, 1-[amino(3-chlorophenyl)acetyl]-4-[4-[(4-chloro-2-fluorophenyl)amino]-6,7-dimethoxy-2-quinazolinyl]- (9CI) (CA INDEX NAME)

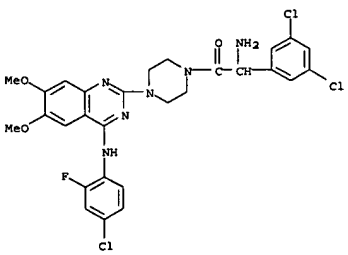


RN 872106-80-4 CAPLUS
CN Piperazine, 1-[amino(4-chlorophenyl)acetyl]-4-[4-[(4-chloro-2-fluorophenyl)amino]-6,7-dimethoxy-2-quinazolinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



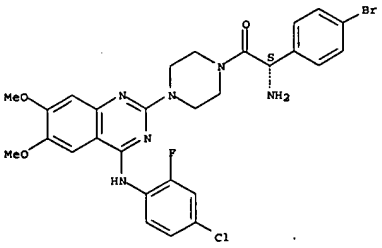
RN 872106-83-7 CAPLUS
CN Piperazine, 1-[amino(3,5-dichlorophenyl)acetyl]-4-[4-[(4-chloro-2-fluorophenyl)amino]-6,7-dimethoxy-2-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 872106-84-8 CAPLUS
CN Piperazine, 1-[(2S)-amino(4-bromophenyl)acetyl]-4-[4-[(4-chloro-2-fluorophenyl)amino]-6,7-dimethoxy-2-quinazolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

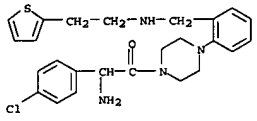
L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



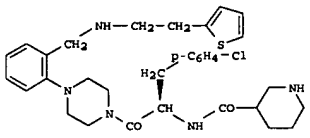
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:863113 CAPLUS
DOCUMENT NUMBER: 142:234
TITLE: Piperazinebenzylamines as potent and selective antagonists of the human melanocortin-4 receptor
AUTHOR(S): Pontillo, Joseph; Tran, Joseph A.; Fleck, Beth A.; Marinkovic, Dragan; Arellano, Melissa; Tucci, Fabio C.; Lanier, Marion; Nelson, Jodie; Parker, Jessica; Saunders, John; Murphy, Brian; Foster, Alan C.; Chen, Chen
CORPORATE SOURCE: Department of Medicinal Chemistry, Neurocrine Biosciences, Inc., San Diego, CA, 92130, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(22), 5605-5609
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:234
GI



I

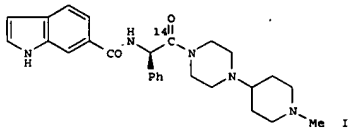
AB SAR studies of a series of piperazinebenzylamines resulted in the discovery of potent antagonists of the human melanocortin-4 receptor. Compds. such as I, which had a Ki value of 15 nM, possessed low efficacy in cAMP stimulation (.apprx.15% of α-MSH maximal level) mediated by MC4R, and functioned as antagonists in inhibition of α-MSH-stimulated cAMP release in a dose-dependent manner (I, IC50 = 36 nM).

IT 791615-56-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(piperazinebenzylamines as antagonists of human melanocortin-4 receptor)

RN 791615-56-0 CAPLUS
CN Piperazine, 1-[amino(4-chlorophenyl)acetyl]-4-[2-[[[2-(2-thienyl)ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:760389 CAPLUS
DOCUMENT NUMBER: 142:355534
TITLE: Synthesis of a carbon-14 labeled 1-(indole-6-carbonyl-D-phenylglycinyl)-4-(1-methylpiperidin-4-yl)piperazine-[carbonyl-14C], LY517717-[14C], a factor Xa inhibitor
AUTHOR(S): Kuo, Fengjiun; Clodfelter, Dean K.; Priest, Tamara R.; Kau, Donald L. K.
CORPORATE SOURCE: Lilly Research Laboratories, A Division of Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN, 46285, USA
SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (2004), 47(9), 599-608
CODEN: JLCRD4; ISSN: 0362-4803
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:355534
GI



I

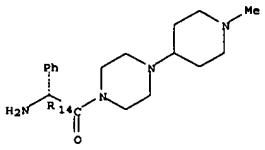
AB Human Factor Xa is a trypsin-like serine protease, which serves a critical role in blood coagulation events. LY 517717 is currently under clin. investigation as a Factor Xa inhibitor. To support the ADME studies, LY 517717-[carboxy-14C] (I) was synthesized using D-phenylglycine with a carbon-14 labeled carboxyl moiety. This key component, D-phenylglycine-[carboxyl-14C], was synthesized by a Strecker synthesis on benzaldehyde with potassium [14C]cyanide, followed by a resolution of DL-phenyl-glycine Me ester-[carbonyl-14C] with (+)-tartaric acid in the presence of benzaldehyde.

IT 849094-34-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. synthesis of LY 517717-[carbonyl-14C], a factor Xa inhibitor)

RN 849094-34-4 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl-1-14C]-4-(1-methyl-4-piperidinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

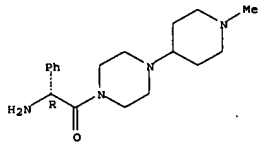


●3 HCl

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
yl)piperazine difumarate forms a stable cryst. salt and is an inhibitor of
the serine protease and Factor Xa, useful in the treatment of cardiovascular disorders, esp. a thrombotic disorder.
IT 381722-47-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of crystalline forms of antithrombotic (indolecarbonyl-phenylglycinyl)(methylpiperidinyl)piperazine difumarate)
RN 381722-47-0 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-(1-methyl-4-piperidinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●3 HCl

L4 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:964343 CAPLUS
DOCUMENT NUMBER: 138:29109
TITLE: Preparation of crystal forms of antithrombotic piperazine derivative
INVENTOR(S): Engel, Gary Lowell; Diseroad, Benjamin Alan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100847	A2	20021219	WO 2002-US16569	20020606
WO 2002100847	A3	20030821		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2001096323	A1	20011220	WO 2001-GB2553	20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1397348	A2	20040317	EP 2002-778933	20020606
EP 1397348	B1	20050928		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534062	T	20041111	JP 2003-503615	20020606
AT 305452	T	20051015	AT 2002-778933	20020606
US 2004162295	A1	20040819	US 2003-477192	20031117

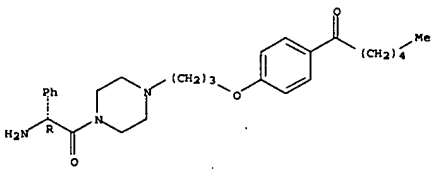
PRIORITY APPLN. INFO.:

WO 2001-GB2553	W	20010612
US 2001-339295P	P	20011212
WO 2000-GB2302	W	20000613
GB 2000-30304	A	20001213
WO 2002-US16569	W	20020606

AB 1-(Indole-6-carbonyl-D-phenylglycinyl)-4-(1-methylpiperidin-4-

L4 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:510520 CAPLUS
DOCUMENT NUMBER: 138:162955
TITLE: Structure-Activity relationships of non-imidazole H3 Receptor ligands. Part 2: binding preference for d-Amino acids motifs
AUTHOR(S): Faghih, Ramin; Dwight, Wesley; Black, Larry; Liu, Huaqing; Gentles, Robert; Phelan, Kathleen; Esbenshade, Timothy A.; Ireland, Lynne; Miller, Thomas R.; Kang, Chae-Hee; Krueger, Kathy M.; Fox, Gerard B.; Hancock, Arthur A.; Bennani, Youssef L.
CORPORATE SOURCE: Global Pharmaceutical Research and Development, Neuroscience Research, Abbott Laboratories, Abbott Park, IL, 60064-6123, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(15), 2035-2037
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:162955
AB Structure-activity relationship studies on novel non-imidazole, d-amino acid containing ligands of histamine 3 receptors are presented. A-304121 is a
d-alanine piperazine amide with high affinity at the rat H3 receptor.
IT 497164-53-1
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-Activity relationships of non-imidazole H3 Receptor ligands)
RN 497164-53-1 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[3-[4-(1-oxohexyl)phenoxy]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

OWN WORK

L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:923784 CAPLUS
DOCUMENT NUMBER: 136:54020
TITLE: Preparation of amino acid derivatives as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Murray, Christopher William; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott Martin; Engel, David Birenbaum; Watson, Brian Morgan; Guzzo, Peter Robert; Mayer, Michael John
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 191 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096323	A1	20011220	WO 2001-GB2553	20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
WO 2000076971	A3	20010802		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2411805	A1	20011220	CA 2001-2411805	20010612
EP 1289972	A1	20030312	EP 2001-936686	20010612
EP 1289972	B1	20040908		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011451	A	20030624	BR 2001-11451	20010612
HU 200300720	A2	20030728	HU 2003-720	20010612
JP 2004503547	T	20040205	JP 2002-510466	20010612
NZ 521896	A	20040730	NZ 2001-521896	20010612
AT 275554	T	20040915	AT 2001-936686	20010612
US 2003055246	A1	20030320	US 2002-30187	20020204
US 6946467	B2	20050920		
WO 2002100847	A2	20021219	WO 2002-US16569	20020606
WO 2002100847	A3	20030821		

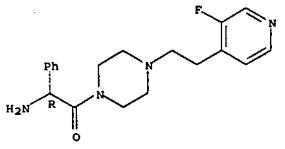
L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1397348	A2	20040317	EP 2002-778933	20020606
EP 1397348	B1	20050928		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534062	T	20041111	JP 2003-503615	20020606
AT 305452	T	20051015	AT 2002-778933	20020606
ES 2248618	T3	20060316	ES 2002-2778933	20020606
NO 2002005665	A	20021125	NO 2002-5665	20021125
HR 20020997	B1	20050228	HR 2002-997	20021212
HK 1054379	A1	20050324	HK 2003-106546	20030911
US 2004162295	A1	20040819	US 2003-477192	20031117
US 2004142963	A1	20040722	US 2004-754923	20040112
US 6936611	B2	20050830		
US 2004176363	A1	20040909	US 2004-803157	20040318
PRIORITY APPLN. INFO.:			WO 2000-GB2302 W	20000613
			GB 2000-30304 A	20001213
			GB 1999-13823 A	19990614
			US 1999-142064P P	19990702
			GB 1999-18741 A	19990809
			GB 1999-29553 A	19991214
			WO 2001-GB2553 W	20010612
			US 2001-339295P P	20011212
			US 2002-30187 A1	20020204
			WO 2002-US16569 W	20020606

OTHER SOURCE(S): MARPAT 136:54020
AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 is a 5- or 6-membered aromatic carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5- or 6-membered carbocyclic or heterocyclic ring, or substituted at the position alpha to X-X, with the proviso that R2 can not be aminoisoquinolyl; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group [at least one X is C, CO, CR1a or C(R1a)2], where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; -L-Lp(D)n is 4-substituted 1-piperazinecarbonyl] or their physiol.-tolerable salts were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(4-methoxybenzoyl-D-phenylglycinyl)-4-phenethylpiperazine was prepd. in the first of 82 examples.

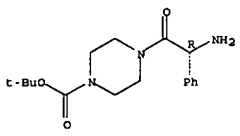
IT 381722-54-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino acid derivs. as serine protease inhibitors)
RN 381722-54-9 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(3-fluoro-4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

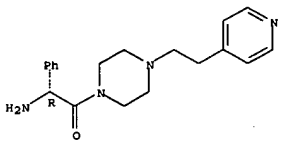
Absolute stereochemistry.



IT 313490-69-6P 313490-79-8P 313490-89-0P
381722-21-0P 381722-22-1P 381722-23-2P
381722-24-3P 381722-25-4P 381722-26-5P
381722-27-6P 381722-28-7P 381722-30-1P
381722-31-2P 381722-32-3P 381722-33-4P
381722-34-5P 381722-35-6P 381722-36-7P
381722-37-8P 381722-40-3P 381722-43-6P
381722-44-7P 381722-47-0P 381722-50-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid derivs. as serine protease inhibitors)
RN 313490-69-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(2R)-aminophenylacetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

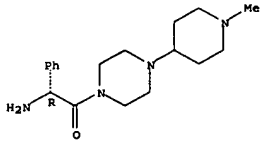




●x HCl

RN 313490-89-0 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-(1-methyl-4-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

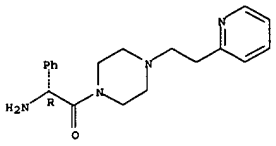


●2 HCl

RN 381722-21-0 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

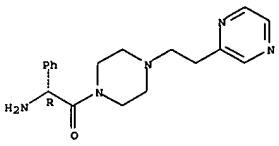
L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



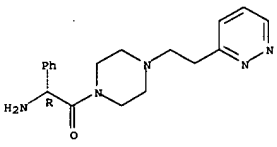
RN 381722-22-1 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-(2-pyrazinylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



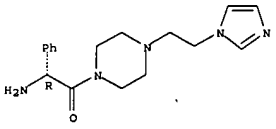
RN 381722-23-2 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(3-pyridazinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



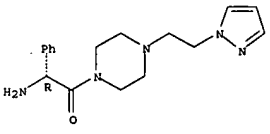
RN 381722-24-3 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

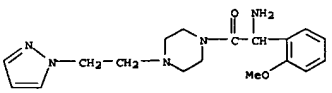


RN 381722-28-7 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(1H-pyrazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381722-30-1 CAPLUS
CN Piperazine, 1-[amino(2-methoxyphenyl)acetyl]-4-[2-(1H-pyrazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

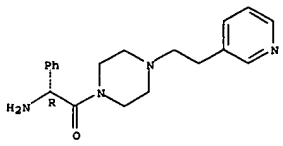


RN 381722-31-2 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(2-thiazolyl)ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

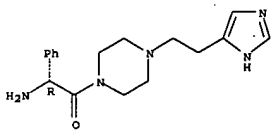
L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



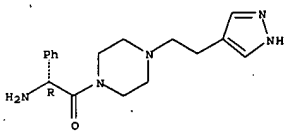
RN 381722-25-4 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381722-26-5 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(1H-pyrazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)

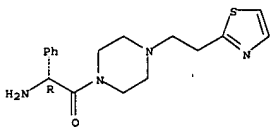
Absolute stereochemistry.



RN 381722-27-6 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(1H-imidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

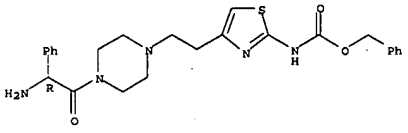
L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



●3 HCl

RN 381722-32-3 CAPLUS
CN Carbamic acid, [4-[2-[4-[(2R)-aminophenylacetyl]-1-piperazinyl]ethyl]-2-thiazolyl]-, phenylmethyl ester, trihydrochloride (9CI) (CA INDEX NAME)

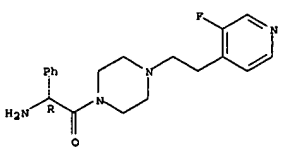
Absolute stereochemistry.



●3 HCl

RN 381722-33-4 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(3-fluoro-4-pyridinyl)ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

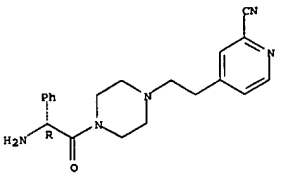


●3 HCl

L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

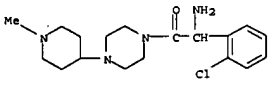
RN 381722-34-5 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(2-cyano-4-pyridinyl)ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



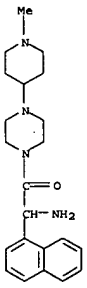
●3 HCl

RN 381722-35-6 CAPLUS
CN Piperazine, 1-[amino(2-chlorophenyl)acetyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



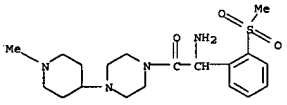
RN 381722-36-7 CAPLUS
CN Piperazine, 1-(amino-8-quinolinylacetyl)-4-(1-methyl-4-piperidinyl)- (9CI)
(CA INDEX NAME)

L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



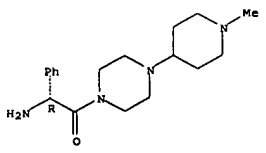
●3 HCl

RN 381722-44-7 CAPLUS
CN Piperazine, 1-[amino[2-(methylsulfonyl)phenyl]acetyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



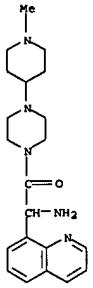
RN 381722-47-0 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-(1-methyl-4-piperidinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

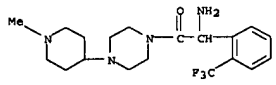


●3 HCl

L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

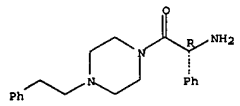


RN 381722-37-8 CAPLUS
CN Piperazine, 1-[amino[2-(trifluoromethyl)phenyl]acetyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 381722-40-3 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-(2-phenylethyl)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

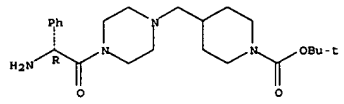


RN 381722-43-6 CAPLUS
CN Piperazine, 1-(amino-1-naphthalenylacetyl)-4-(1-methyl-4-piperidinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 381722-50-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[4-[(2R)-aminophenylacetyl]-1-piperazinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

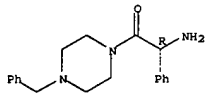
Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:177455 CAPLUS
DOCUMENT NUMBER: 134:353501
TITLE: The design of phenylglycine containing benzamidine carboxamides as potent and selective inhibitors of factor Xa
AUTHOR(S): Jones, S. D.; Liebeschuetz, J. W.; Morgan, P. J.; Murray, C. W.; Rimmer, A. D.; Roscoe, J. M. E.; Waszkowycz, B.; Welsh, P. M.; Wylie, W. A.; Young, S. C.; Martin, H.; Mahler, J.; Brady, L.; Wilkinson, K.
CORPORATE SOURCE: Protherics Molecular Design, Macclesfield, SK11 0JL, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(5), 733-736
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:353501
AB Factor Xa, a critical serine protease in the blood coagulation cascade, has become a target for inhibition as a strategy for the invention of novel anti-thrombotic agents. Here we describe the development of phenylglycine containing benzamidine carboxamides as novel, potent and selective inhibitors of factor Xa. A number of highly focused libraries of compds. have been designed and synthesized giving rapid access to a series of potent and selective inhibitors of factor Xa. Key to the potency of these compds. is the lipophilic interaction between phenylglycine residue and the 'disulfide' pocket comprising Gln192, Cys191, Cys220 and Gly218.
IT 339209-02-8P 339209-03-9P 339209-04-0P 339209-05-1P 339209-06-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of libraries of phenylglycine containing benzamidine carboxamides as selective inhibitors of factor Xa)
RN 339209-02-8 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

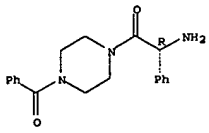
Absolute stereochemistry.



RN 339209-03-9 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-benzoyl- (9CI) (CA INDEX NAME)

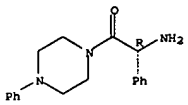
L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



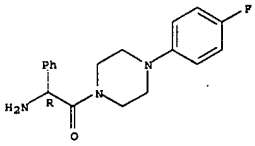
RN 339209-04-0 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 339209-05-1 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

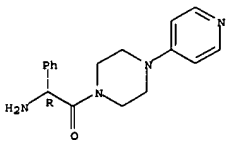
Absolute stereochemistry.



RN 339209-06-2 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:900614 CAPLUS
DOCUMENT NUMBER: 134:56958
TITLE: Preparation of amino acid derivatives as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular Design Limited
SOURCE: PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
WO 2000076971	A3	20010802		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2375920	A1	20001221	CA 2000-2375920	20000613
AU 200054140	A	20010102	AU 2000-54140	20000613
EP 1192132	A2	20020403	EP 2000-938916	20000613
EP 1192132	B1	20050907		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003502314	T	20030121	JP 2001-503831	20000613
AT 303988	T	20050915	AT 2000-938916	20000613
ES 2248084	T3	20060316	ES 2000-938916	20000613
CA 2411798	A1	20011220	CA 2001-2411798	20010612
CA 2411805	A1	20011220	CA 2001-2411805	20010612
WO 2001096296	A1	20011220	WO 2001-GB2541	20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 2001096303	A1	20011220	WO 2001-GB2551	20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				

L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2001096323	A1	20011220	WO 2001-GB2553		20010612
EP 1289972	A1	20030312	EP 2001-936686		20010612
EP 1289972	B1	20040908			
EP 1289950	A1	20030312	EP 2001-938386		20010612
EP 1289950	B1	20040908			
EP 1289953	A1	20030312	EP 2001-938403		20010612
EP 1289953	B1	20050907			
EP 1289954	A1	20030312	EP 2001-940716		20010612
EP 1289954	B1	20050914			
BR 2001011451	A	20030624	BR 2001-11451		20010612
HU 200300720	A2	20030728	HU 2003-720		20010612
JP 2004503532	T	20040205	JP 2002-510440		20010612
JP 2004503547	T	20040205	JP 2002-510466		20010612
NZ 521896	A	20040730	NZ 2001-521896		20010612
AT 275554	T	20040915	AT 2001-936686		20010612
AT 275544	T	20040915	AT 2001-938386		20010612
PT 1289972	T	20050131	PT 2001-936686		20010612
EP 1510515	A1	20050302	EP 2004-77367		20010612
ES 2228869	T3	20050416	ES 2001-1936686		20010612
ES 2228874	T3	20050416	ES 2001-1938386		20010612

L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AT 303989	T	20050915	AT 2001-938403		20010612
AT 304532	T	20050915	AT 2001-940716		20010612
ES 2247120	T3	20060301	ES 2001-1938403		20010612
ES 2248341	T3	20060316	ES 2001-1940716		20010612
US 6855715	B1	20050215	US 2001-926712		20011206
US 2002151724	A1	20021017	US 2002-30186		20020204
US 6784182	B2	20040831			
US 2003078438	A1	20030424	US 2002-30189		20020204
US 6878725	B2	20050412			
US 2003109706	A1	20030612	US 2002-30188		20020204
US 7053078	B2	20060530			
ZA 2002009153	A	20040213	ZA 2002-9153		20021111
NO 2002005665	A	20021125	NO 2002-5665		20021125
HR 20020997	B1	20050228	HR 2002-997		20021212
HK 1054379	A1	20050324	HK 2003-106546		20030911
US 2004142963	A1	20040722	US 2004-754923		20040112
US 6936611	B2	20050830			
US 2004176363	A1	20040909	US 2004-803157		20040318
US 2004242656	A1	20041202	US 2004-876672		20040628
US 2004259868	A1	20041223	US 2004-883715		20040706
US 6900196	B2	20050531			
US 2005032790	A1	20050210	US 2004-923010		20040823

PRIORITY APPLN. INFO.:

GB 1999-13823	A	19990614
US 1999-142064P	P	19990702
GB 1999-18741	A	19990809
GB 1999-29553	A	19991214
WO 2000-GB2302	W	20000613
GB 2000-30303	A	20001213
GB 2000-30304	A	20001213
GB 2000-30305	A	20001213
GB 2000-30306	A	20001213
EP 2001-936686	A3	20010612
WO 2001-GB2541	W	20010612
WO 2001-GB2551	W	20010612
WO 2001-GB2553	W	20010612
WO 2001-GB2572	W	20010612
US 2001-926712	A3	20011206
US 2002-30187	A1	20020204
US 2002-30188	A3	20020204

L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
US 2002-30189 A3 20020204

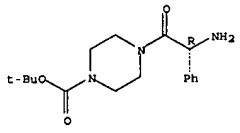
OTHER SOURCE(S): MARPAT 134:56958

AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered aromatic carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring or substituted at the position alpha to X-X; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an organic linker group containing 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)saturated, mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic organic group; D is a hydrogen bond donor group; n = 0-2] were prepared for use as serine protease inhibitors.
Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepared and shown to double the prothrombin time at a concentration of 26 μM.

IT 313490-69-6P 313490-79-8P 313490-89-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid derivs. as serine protease inhibitors)

RN 313490-69-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(2R)-aminophenylacetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

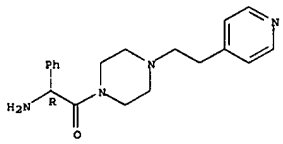
Absolute stereochemistry.



RN 313490-79-8 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(4-pyridinyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

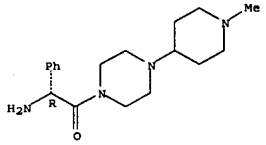
L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



●x HCl

RN 313490-89-0 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-(1-methyl-4-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

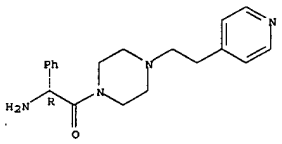
L4 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:900613 CAPLUS
DOCUMENT NUMBER: 134:56957
TITLE: Preparation of amino acid derivatives as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Masters, John Joseph; Wiley, Michael John
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular Design Limited
SOURCE: PCT Int. Appl., 350 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076970	A2	20001221	WO 2000-GB2296	20000613
WO 2000076970	A3	20010719		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2383008	A1	20001221	CA 2000-2383008	20000613
EP 1192135	A2	20020403	EP 2000-938912	20000613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.:
GB 1999-13823 A 19990614
US 1999-142064P P 19990702
GB 1999-18741 A 19990809
GB 1999-29552 A 19991214
GB 1999-29553 A 19991214
WO 2000-GB2296 W 20000613

OTHER SOURCE(S): MARPAT 134:56957
AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered aromatic carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered

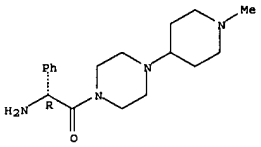
L4 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



●x HCl

RN 313490-89-0 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-(1-methyl-4-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

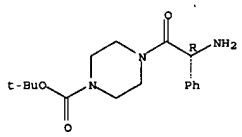
Absolute stereochemistry.



●2 HCl

L4 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
carbocyclic or heterocyclic ring; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 μM.
IT 313490-69-6P 313490-79-8P 313490-89-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid derivs. as serine protease inhibitors)
RN 313490-69-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(2R)-aminophenylacetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313490-79-8 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(4-pyridinyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

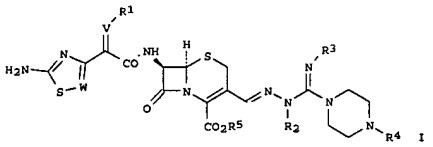
L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:682254 CAPLUS
DOCUMENT NUMBER: 129:275783
TITLE: synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates
INVENTOR(S): Ascher, Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes
PATENT ASSIGNEE(S): Biochemie G.m.b.H., Austria
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9843981	A1	19981008	WO 1998-EP1890	19980401
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AT 9700547	A	19981015	AT 1997-547	19970401
AT 405180	B	19990625		
TW 561157	B	20031111	TW 1998-87103843	19980316
ZA 9802687	A	19981001	ZA 1998-2687	19980331
CA 2284501	A1	19981008	CA 1998-2284501	19980401
AU 9875213	A	19981022	AU 1998-75213	19980401
AU 734897	B2	20010628		
TR 9902387	T2	20000121	TR 1999-2387	19980401
EP 973780	A1	20000126	EP 1998-922631	19980401
EP 973780	B1	20030702		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
JP 2000514832	T	20001107	JP 1998-541162	19980401
JP 3363157	B2	20030108		
HU 200001685	A2	20001128	HU 2000-1685	19980401
NZ 337732	A	20010928	NZ 1998-337732	19980401
JP 2002316992	A	20021031	JP 2002-64270	19980401
EP 1300408	A1	20030409	EP 2003-220	19980401
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY				
RU 2201933	C2	20030410	RU 1999-122749	19980401
AT 244250	T	20030715	AT 1998-922631	19980401
CN 1117095	B	20030806	CN 1998-803820	19980401
PT 973780	T	20031128	PT 1998-922631	19980401
ES 2203955	T3	20040416	ES 1998-922631	19980401
IL 131849	A	20040512	IL 1998-131849	19980401
CN 1515574	A	20040728	CN 2003-2003142494	19980401
NO 9904719	A	19990928	NO 1999-4719	19990928
BR 9807913	A	20000222	BR 1998-7913	19990930
MX 9909047	A	20000228	MX 1999-9047	19991001
HK 1026692	A1	20040604	HK 2000-104576	20000724
US 2002091252	A1	20020711	US 2001-14651	20011113
US 6693095	B2	20040217		

L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

US 2002115852	A1	20020822	US 2001-14719		20011113
US 2003114665	A1	20030619	US 2002-252813		20020923
US 2004132709	A1	20040708	US 2003-706768		20031112
US 2006223789	A1	20061005	US 2005-294066		20051205
PRIORITY APPLN. INFO.:			AT 1997-546	A	19970401
			AT 1997-547	A	19970401
			AT 1997-548	A	19970401
			EP 1998-922631	A3	19980401
			JP 1998-541162	A3	19980401
			WO 1998-EP1890	W	19980401
			US 1999-381758	B1	19990922
			US 2001-14651	A1	20011113
			US 2001-14719	B1	20011113
			US 2003-706768	B1	20031112

OTHER SOURCE(S): MARPAT 129:275783
GI

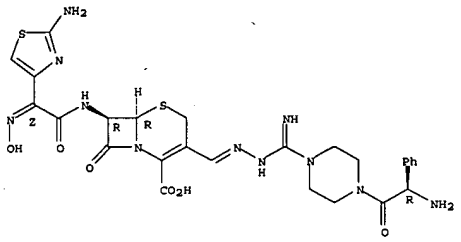


AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepared in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with
1-(1-methylhydrazino)iminomethylpiperaz
ine dihydrochloride followed by chromatog.
IT 214055-51-3P 214055-52-4P 214055-69-3P
214055-81-9P 214055-82-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of antibacterial substituted
acylamino(methylhydrazono)methy
lcephalosporins and intermediates)
RN 214055-51-3 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[[4-[(2R)-aminophenylacetyl]-1-piperazinyl]iminomethyl]hydrazono]methy
l]-7-[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-8-oxo-,
trihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



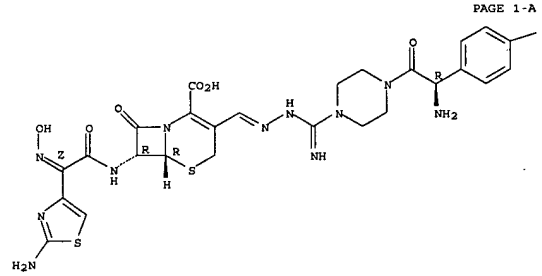
●3 HCl

RN 214055-52-4 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-[[[[4-[(2R)-amino(4-hydroxyphenyl)acetyl]-1-
piperazinyl]iminomethyl]hydrazono]methyl]-7-[[(2Z)-(2-amino-4-
thiazolyl)(hydroxyimino)acetyl]amino]-8-oxo-, trihydrochloride, (6R,7R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-A



●3 HCl

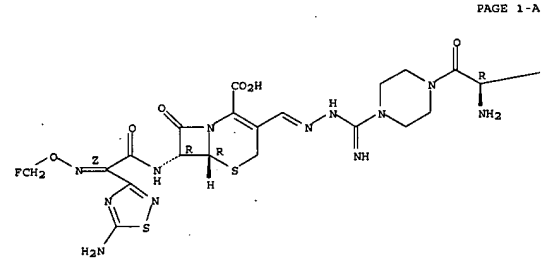
PAGE 1-B

RN 214055-69-3 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-[[[[4-[(2R)-amino(4-hydroxyphenyl)acetyl]-1-
piperazinyl]iminomethyl]hydrazono]methyl]-7-[[(2Z)-(5-amino-1,2,4-
thiadiazol-3-yl)[(fluoromethoxy)imino]acetyl]amino]-8-oxo-,
trihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

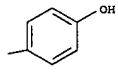
L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-A



●3 HCl

PAGE 1-B



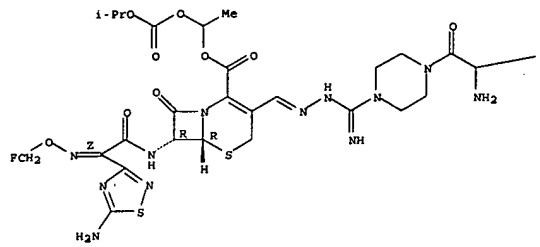
RN 214055-81-9 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[[4-[amino(4-hydroxyphenyl)acetyl]-1-piperazinyl]iminomethyl]hydrazono
]methyl]-7-[[(2Z)-(5-amino-1,2,4-thiadiazol-3-
yl)[(fluoromethoxy)imino]acetyl]amino]-8-oxo-, 1-[[(1-
methylethoxy)carbonyl]oxy]ethyl ester, (6R,7R)- (9CI) (CA INDEX NAME)

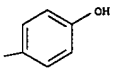
Absolute stereochemistry.
Double bond geometry as described by E or Z.

L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-A



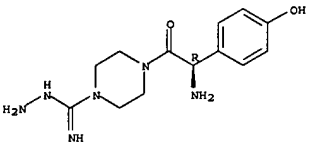
PAGE 1-B



RN 214055-82-0 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-[[[[4-[amino(4-hydroxyphenyl)acetyl]-1-piperazinyl]iminomethyl]hydrazono]methyl]-7-[[(2Z)-(5-amino-1,2,4-thiadiazol-3-yl)[(fluoromethoxy)imino]acetyl]amino]-8-oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

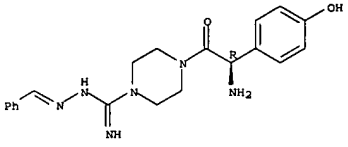
L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



●3 HCl

RN 214056-14-1 CAPLUS
CN 1-Piperazinecarboximidic acid, 4-[(2R)-amino(4-hydroxyphenyl)acetyl]-, (phenylmethylene)hydrazide, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

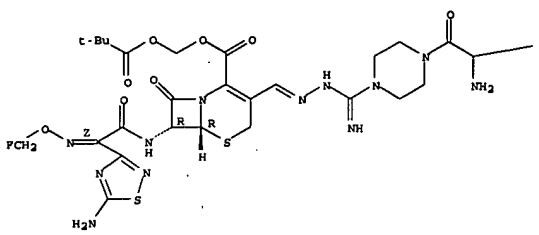


●3 HCl

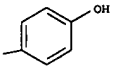
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-A



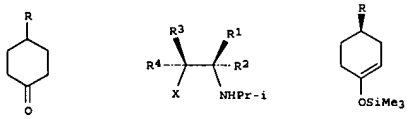
PAGE 1-B



IT 214056-13-0P 214056-14-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of antibacterial substituted acylamino(methylhydrazono)methy lcephalosporins and intermediates)
RN 214056-13-0 CAPLUS
CN 1-Piperazinecarboximidic acid, 4-[(2R)-amino(4-hydroxyphenyl)acetyl]-, hydrazide, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:314613 CAPLUS
DOCUMENT NUMBER: 127:17714
TITLE: Stereoselective reactions. 25. Enantioselective deprotonation of prochiral 4-substituted cyclohexanones by chiral chelated lithium amides
AUTHOR(S): Shirai, Ryuichi; Sato, Daisaku; Aoki, Kazumasa; Tanaka, Masahide; Kawasaki, Hisashi; Koga, Kenji
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, University of Tokyo, Tokyo, 113, Japan
SOURCE: Tetrahedron (1997), 53(17), 5963-5972
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:17714
GI

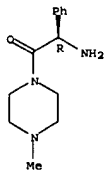


I II III

AB Enantioselective deprotonation of prochiral 4-substituted cyclohexanones I (R = CMe3, Ph, CHMe2, Me) by chiral chelated Li amides, e.g., II (e.g., R1 = Ph, CMe3, R2 = R3 = R4 = H, X = Li) in the presence of excess Me3SiCl was realized to give the corresponding chiral silyl enol ethers III in up to 89% ee. Enantioselectivity of the reaction is dependent on the solvent used, but becomes almost independent on the solvent in the presence of HMPA. The sense of asym. induction can be correlated to the configuration at the chiral C bearing amide N of the Li amide.
IT 157303-85-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and selective alkylation of amine group of)
RN 157303-85-0 CAPLUS
CN Piperazine, 1-(aminophenylacetyl)-4-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

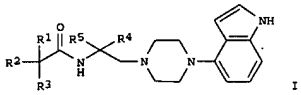


REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

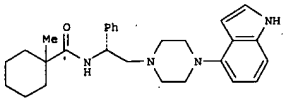
L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:366107 CAPLUS
DOCUMENT NUMBER: 125:114697
TITLE: 4-Piperazinylindole amino acid derivatives as selective 5-HT1A antagonists useful as anxiolytic/antidepressant agents
INVENTOR(S): Yardley, John P.; Fletcher, Horace, III
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5519025	A	19960521	US 1995-419333	19950410
JP 08283262	A	19961029	JP 1996-76398	19960329
EP 737677	A1	19961016	EP 1996-302419	19960404
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2173693	A1	19961011	CA 1996-2173693	19960409
NO 9601404	A	19961011	NO 1996-1404	19960409
AU 9650522	A	19961024	AU 1996-50522	19960409
ZA 9602821	A	19971009	ZA 1996-2821	19960409
BR 9601295	A	19980113	BR 1996-1295	19960409
PRIORITY APPLN. INFO.:			US 1995-419333 A	19950410

OTHER SOURCE(S): MARPAT 125:114697
GI



I

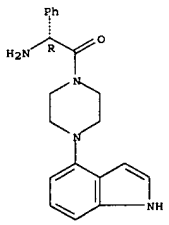


II

AB This invention provides title anxiolytic/antidepressant agents I in which

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
R1 is alkyl of 1 to 6 carbon atoms; R2 and R3 are alkyl of 1 to 6 carbon atoms or taken together they are polymethylene of 2 to 12 carbon atoms; R4
is hydrogen or alkyl of 1 to 6 carbon atoms; R5 is Ph, benzyl, substituted
Ph, or substituted benzyl in which the substituents are hydroxy, halo, alkoxy of 1 to 6 carbon atoms, trifluoromethyl, nitro, cyano, alkoxycarbonyl of 2 to 7 carbon atoms, amino or dialkylamino in which each
alkyl group contains 1 to 6 carbon atoms; or a pharmaceutically acceptable
salt thereof. Thus, e.g., amidation of benzyloxycarbonyl-D-phenylglycine with 4-piperazinylindole followed by deprotection afforded (R)-1-(phenylglycyl)-4-(4-indolyl)piperazine (57.6%); redn. of the amide group followed by amidation with 1-methylcyclohexanecarbonyl chloride afforded 1-methylcyclohexanecarboxylic acid (R)-N-[2-[4-(4-indolyl)piperazin-1-yl]-1-phenylethyl]amide (II) which exhibited high affinity for the serotonin 5-HT1A receptor with IC50 = 4.33 nM. The (S) isomer of II exhibited IC50 = 35.5 nM.
IT 175595-37-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(4-piperazinylindole amino acid deriva. as selective 5-HT1A antagonists
useful as anxiolytic/antidepressant agents)
RN 175595-37-6 CAPLUS
CN Piperazine, 1-(aminophenylacetyl)-4-(1H-indol-4-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

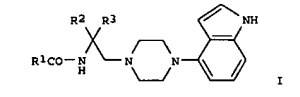


prov.

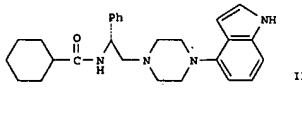
L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:119183 CAPLUS
DOCUMENT NUMBER: 124:289574
TITLE: N-[2-[4-(4-indolyl)piperazin-1-yl]ethyl]amides as 5HT1A antagonists useful as anxiolytic/antidepressant agents
INVENTOR(S): Yardley, John P.; Fletcher, Horace, III; Kelly, Michael G.; White, Alan C.
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5486518	A	19960123	US 1995-419342	19950410
TW 454005	B	20010911	TW 1995-84113142	19951209
JP 08319274	A	19961203	JP 1996-76390	19960329
EP 737678	A1	19961016	EP 1996-302420	19960404
EP 737678	B1	20020619		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 219484	T	20020715	AT 1996-302420	19960404
PT 737678	T	20021129	PT 1996-302420	19960404
ES 2177727	T3	20021216	ES 1996-302420	19960404
CA 2173690	A1	19961011	CA 1996-2173690	19960409
HU 9600914	A2	19980928	HU 1996-914	19960409
HK 1010102	A1	20021115	HK 1998-110927	19980924
PRIORITY APPLN. INFO.:			US 1995-419342 A	19950410

OTHER SOURCE(S): MARPAT 124:289574
GI



I

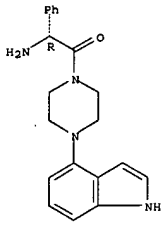


II

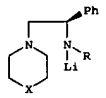
AB This invention provides anxiolytic/antidepressant agents of the formula I in which R1 is alkyl of 1 to 6 carbon atoms, cycloalkyl of 5 to 7 carbon atoms, aryl of 6 to 10 carbon atoms or arylalkyl of 7 to 12 carbon atoms;

L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
R2 is hydrogen or alkyl of 1 to 6 carbon atoms; R3 is Ph, benzyl, substituted Ph, or substituted benzyl in which the substituents are hydroxy, halo, alkoxy of 1 to 6 carbon atoms, trifluoromethyl, nitro, cyano, alkoxycarbonyl of 2 to 7 carbon atoms, amino or dialkylamino, each alkyl group having 1 to 6 carbon atoms; or a pharmaceutically acceptable salt thereof. Thus, e.g., amide coupling of benzyloxycarbonyl-D-phenylglycine with 4-piperazinylindole afforded 57.6% (R)-1-(phenylglycyl)-
4-(4-indolyl)piperazine; redn. of the latter with LiAlH4 afforded 88% (R)-2-[4-(4-indolyl)piperazin-1-yl]-1-phenylethylamine; acylation of the latter with cyclohexanecarbonyl chloride afforded (R)-cyclohexanecarboxylic acid [2-[4-(4-indolyl)piperazin-1-yl]-1-phenylethyl]amide hydrochloride (II.HCl) which exhibited high affinity for
the serotonin 5-HT1A receptor (IC50 = 2 nM).
IT 175595-37-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(N-[2-[4-(4-indolyl)piperazin-1-yl]ethyl]amides as 5HT1A antagonists useful as anxiolytic/antidepressant agents)
RN 175595-37-6 CAPLUS
CN Piperazine, 1-(aminophenylacetyl)-4-(1H-indol-4-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:557486 CAPLUS
DOCUMENT NUMBER: 121:157486
TITLE: Stereoselective reactions. XXII. Design and synthesis of chiral chelated lithium amides for enantioselective
reactions
AUTHOR(S): Shirai, Ryuichi; Aoki, Kazumasa; Sato, Daisaku; Kim, Hee-Doo; Murakata, Masatoshi; Yasukata, Tatsuro; Koga,
Kenji
CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1994), 42(3), 690-3
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:157486
GI

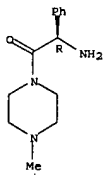


I

AB Chiral chelated lithium amides [(R)-I (R = CH2CMe3, CHMe2, CH2CF3, CH2CH2OCH2CH2OMe, CH2CH2OCH2CH2NMe2, CH2CH2NMeCH2CH2NMe2, X = CH2; R = CH2CMe3, X = NMe)] were designed and synthesized in optically pure forms starting from (R)-phenylglycine.
IT 157303-85-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of, in preparation of chiral chelated lithium amides)
RN 157303-85-0 CAPLUS
CN Piperazine, 1-(aminophenylacetyl)-4-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

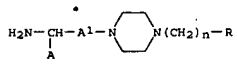
L4 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:560317 CAPLUS
DOCUMENT NUMBER: 119:160317
TITLE: Preparation of alkylpiperazines as intermediates for lipoxygenase and cyclooxygenase inhibitors
INVENTOR(S): Suzuki, Masahiro; Nozaki, Kenji; Kajitani, Akira; Yasumoto, Sanji; Ono, Naohiko; Shindo, Kyoji
PATENT ASSIGNEE(S): Taiho Pharmaceutical Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05032645	A	19930209	JP 1991-186173	19910725
PRIORITY APPLN. INFO.:			JP 1991-186173	19910725

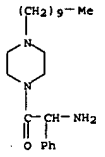
OTHER SOURCE(S): MARPAT 119:160317
GI



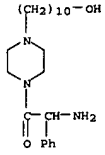
I

AB The title compds. [I; R = H, OH, tetrahydropyranyloxy, alkoxycarbonyl; A = H, phenyl; A1 = CH2, CO; n = 6-20 integer], intermediates for lipoxygenase
and cyclooxygenase inhibitors useful as antiasthmatics, are prepared E.g., a mixture of N-decylpiperazine hydrochloride, N-tert-butoxycarbonylglycine,
NaHCO3, 4-(dimethylamino)pyridine, and dicyclohexylcarbodiimide in CH2Cl2 was stirred at room temperature for 12 h to give 83% I [R = A = H, n = 10, A1 = CO].HCl, which in DMF was stirred at room temperature with NaHCO3, caffeic acid,
1-hydroxybenzotriazole, and dicyclohexylcarbodiimide at room temperature for 14
h to give 81.8% N-[2-(β-(3,4-dihydroxyphenyl)acryloyl]aminoacetyl]-N'-decylpiperazine, which in CH2Cl2 was treated with Et3N, ClCO2-Et with ice cooling to give 86% N-[2-(β-(3,4-bis(ethoxycarbonyloxy)phenyl)acryloyl]aminoacetyl]-N'-decylpiperazine. This at 100 mg/Kg p.o. effected a 22.6% antiasthmatic activity in IgE serum-treated marmots.
IT 142515-17-1P 149845-93-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for lipoxygenase and cyclooxygenase inhibitors)
RN 142515-17-1 CAPLUS
CN Piperazine, 1-(aminophenylacetyl)-4-decyl- (9CI) (CA INDEX NAME)

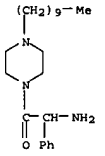
L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 149845-93-2 CAPLUS
CN 1-Piperazinedecanol, 4-(aminophenylacetyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(Reactant or reagent)
(prepn. and reaction of, in prepn. of lipoxygenase inhibitor)
RN 143411-09-0 CAPLUS
CN Piperazine, 1-(aminophenylacetyl)-4-decyl-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:591833 CAPLUS
DOCUMENT NUMBER: 117:191833
TITLE: Preparation of isoxazole compounds as cyclooxygenase and 5-lipoxygenase inhibitors
INVENTOR(S): Suzuki, Masahiro; Nozaki, Kenji; Kajitani, Makoto; Yasumoto, Mitsugi; Ono, Naohiko; Shindo, Takashi
PATENT ASSIGNEE(S): Taiho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9205162	A1	19920402	WO 1991-JP1253	19910920
W: AU, CA, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
JP 04134077	A	19920507	JP 1990-253184	19900921
CA 2091897	A1	19920322	CA 1991-2091897	19910920
AU 9186318	A	19920415	AU 1991-86318	19910920
AU 650484	B2	19940623		
EP 549797	A1	19930707	EP 1991-916594	19910920
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5318970	A	19940607	US 1993-844561	19930317
PRIORITY APPLN. INFO.:			JP 1990-253184 A	19900921
			WO 1991-JP1253 A	19910920

OTHER SOURCE(S): MARPAT 117:191833
GI

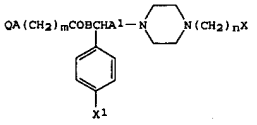
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Isoxazole derivs. [I; R = H, alkoxy; R1 = Q (wherein A = NH, O; B = CH2, CO, Y = H, Ph, halophenyl; m = 0, 1; n = 1-12; X = H, OH, alkoxycarbonyl), Q1 (wherein Z = pyrimidinyl), Q2 (wherein R2 = styryl, hydroxystyryl)] are prepared DCC was added dropwise to a solution of II, III, and a catalytic amount of 4-(dimethylamino)pyridine in MeCN under cooling and the distilled residue was purified on silica gel chromatog. and refluxed with maleic acid in 2-propanol to give 61% I [R = 4-MeO, R1 = Q wherein A = O, B = CH2, X = OH, Y = Ph, m = 1, n = 10].dimaleate. Also prepared were 12 addnl. I, which showed IC50 at 0.066-0.146 μM against cyclooxygenase and 1.8-5.9 μM against 5-lipoxygenase. Seven pharmaceutical formulations were given.
IT 143411-09-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

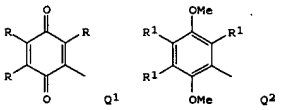
L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:469884 CAPLUS
DOCUMENT NUMBER: 117:69884
TITLE: Alkylpiperazine derivatives as 5-lipoxygenase and cyclooxygenase inhibitors
INVENTOR(S): Suzuki, Masahiro; Nozaki, Kenji; Kajitani, Akira; Yasumoto, Sanji; Ono, Naohiko; Shindo, Kyoji
PATENT ASSIGNEE(S): Taiho Seihin Kogyo K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04054175	A	19920221	JP 1990-163575	19900620
PRIORITY APPLN. INFO.:			JP 1990-163575	19900620

OTHER SOURCE(S): MARPAT 117:69884
GI



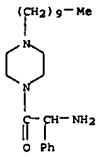
I



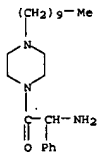
Q1 Q2

AB The title derivs. I (A, A1 = CH2, CO; B = NH, O; X = H, OH; X1 = H, halo; Q = Q1, Q2; R = lower alkyl, R1 = H, lower alkyl; m = 0-2; n = 6-15) and their salts are prepared as 5-lipoxygenase and cyclooxygenase inhibitors (no data). Treating 1,4-dimethoxy-2,3,5-trimethylbenzene with mono-Et succinoyl chloride in CH2Cl2 in the presence of AlCl3 gave 74% 4-(2,5-dimethoxy-3,4,6-trimethylphenyl)-4-oxobutyric acid, Clemmensen reduction of which afforded 95% 4-(2,3,5-trimethyl-1,4-benzoquinon-6-yl)butyric acid (II). Then, II was treated with 1-(2-amino-2-phenylethyl)-4-[10-(2-tetrahydropyranyloxy)decyl]piperazine (preparation given) in CH2Cl2 in the presence of 4-dimethylaminopyridine and DCC to give, after treatment with maleic acid, 77% I dimaleate (A, A1 = CH2, B = NH, X = X1 = H, Q = Q1, R = Me, m = 2, n = 10).
IT 142515-17-1P, 1-(2-Amino-2-phenylacetyl)-4-decylpiperazine

L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in manuf. of cyclooxygenase and lipoxygenase inhibitors)
RN 142515-17-1 CAPLUS
CN Piperazine, 1-(aminophenylacetyl)-4-decyl- (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
with cinnamic acid in CH2Cl2 contg. 4-(dimethylamino)pyridine and dicyclohexylcarbodiimide at room temp. for 24 h gave, after deprotection by refluxing in MeOH contg. p-MeC6H4CO3H, 69% title compd. II [R2 = cinnamoyl, R3 = H]. The IC50 values for the title compd. II [R2 = 3,4-(OH)2C6H4CH2CO, R3 = H] are 9.9 and 0.32 μM against cyclooxygenase and 5-lipoxygenase, resp. Tablets, granules, capsules, etc., contg. I were formulated.
IT 137424-57-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for cyclooxygenase and lipoxygenase inhibitors)
RN 137424-57-8 CAPLUS
CN Piperazine, 1-(aminophenylacetyl)-4-decyl-, monohydrochloride (9CI) (CA INDEX NAME)

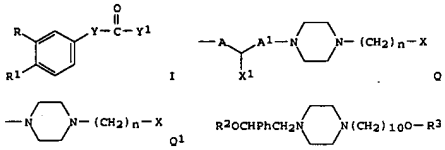


● HCl

L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:656227 CAPLUS
DOCUMENT NUMBER: 115:256227
TITLE: Preparation of alkylpiperazines as cyclooxygenase and lipoxygenase inhibitors
INVENTOR(S): Suzuki, Masahiro; Nozaki, Kenji; Kajitani, Makoto; Yasumoto, Mitsugi; Ono, Naohiko; Shindo, Takashi
PATENT ASSIGNEE(S): Taiho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9111444	A1	19910808	WO 1991-JP60	19910119
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2050492	A1	19910727	CA 1991-2050492	19910119
CA 2050492	C	19961217		
AU 9170576	A	19910821	AU 1991-70576	19910119
AU 637670	B2	19930603		
EP 465659	A1	19920115	EP 1991-902742	19910119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5182284	A	19930126	US 1991-761974	19910925
PRIORITY APPLN. INFO.:			JP 1990-16583 A	19900126
			WO 1991-JP60 A	19910119

OTHER SOURCE(S): MARPAT 115:256227
GI

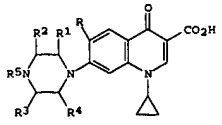


AB The title compds. [I; R, R1 = OH, alkoxy, halo, H, dialkyl phosphate residue, etc.; or RR1 = OCH2O; Y = CH:CH, (CH2)m; m = 0, 1; Y1 = Q, Q1; A = NH, O; A1 = CH2, CO; n = 6-20; X = OH, H, alkoxycarbonyl; X1 = H, (halo)phenyl; however, when Y1 = Q1, R = R1 = OH] and their pharmaceutically acceptable salts, inhibitors of particularly 5-lipoxygenase, useful for treatment of asthma, etc., are prepared
Stirring
a mixt of (hydroxyethyl)piperazine II [R2 = H, R3 = tetrahydro-2-pyranyl]

L4 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:132068 CAPLUS
DOCUMENT NUMBER: 102:132068
TITLE: Bactericidal agent from quinolinonecarboxylic acid
INVENTOR(S): Petersen, Uwe; Grohe, Klaus; Kuehle, Engelbert; Kuck, Karl Heinz
PATENT ASSIGNEE(S): Bayer A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 64 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3308909	A1	19840913	DE 1983-3308909	19830312
DK 8401483	A	19840913	DK 1984-1483	19840229
EP 121727	A1	19841017	EP 1984-102122	19840229
EP 121727	B1	19860924		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL				
AT 22387	T	19861015	AT 1984-102122	19840229
JP 59170069	A	19840926	JP 1984-43032	19840308
BR 8401084	A	19841016	BR 1984-1084	19840309
ZA 8401764	A	19841031	ZA 1984-1764	19840309
HU 34665	A2	19850429	HU 1984-969	19840309
HU 194024	B	19880128		
CA 1222249	A1	19870526	CA 1984-449254	19840309
IL 71200	A	19880331	IL 1984-71200	19840309
AU 8425516	A	19840913	AU 1984-25516	19840312
AU 569494	B2	19880204		
PRIORITY APPLN. INFO.:			DE 1983-3308909 A	19830312
			EP 1984-102122 A	19840229

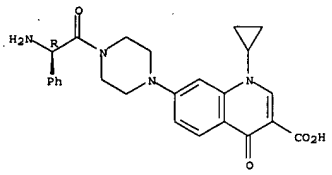
OTHER SOURCE(S): CASREACT 102:132068; MARPAT 102:132068
GI



AB Piperazinylquinolinecarboxylates I [R = H, halo, NO2; R1-R4 = H, Me, Et, Pr, Me2CH; R5 = cyano, R6CO, R7SO2, R8S; R6 = H, (un)substituted alkyl, aryl, alkoxy, alkylthio, aryloxy, amino; R7 = alkyl, Ph, tolyl; R8 = MeO2C, Cl3C, F3C, ClF2C) were prepared Thus, CH2(CO2Et)2 underwent
Grignard
benzoylation with 2,4,5-Cl2FC6H2COCl to give 2,4,5-Cl2FC6H2COCH2(CO2Et)2. This was successively decarboxylated, condensed with HC(OEt)3 and

L4 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
cyclopropylamine, cyclized, and treated with piperazine to give I (R = F, R1 - R5 = H). This was acylated with glutaric anhydride to give I [R = F,
R1-R4 = H, R5 = HO2C(CH2)3CO] (II). On rice 10 mg II/100 cm2 gave 60% protection against Xanthomonas oryzae.
IT 94498-56-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 94498-56-3 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-(aminophenylacetyl)-1-piperazinyl]-1-cyclopropyl-1,4-dihydro-4-oxo-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



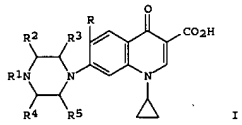
● HCl

L4 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:6542 CAPLUS
DOCUMENT NUMBER: 102:6542
TITLE: Quinolonecarboxylic acids and their antibacterial use
INVENTOR(S): Petersen, Uwe; Grohe, Klaus; Kuehle, Engelbert; Zeiler, Hans Joachim; Metzger, Karl
PATENT ASSIGNEE(S): Bayer A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 55 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3306771	A1	19840830	DE 1983-3306771	19830225
US 4559341	A	19851217	US 1984-576595	19840203
AU 8424284	A	19840830	AU 1984-24284	19840208
AU 563748	B2	19870723		
EP 117473	A1	19840905	EP 1984-101442	19840213
EP 117473	B1	19870128		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 25245	T	19870215	AT 1984-101442	19840213
NO 8400558	A	19840827	NO 1984-558	19840215
JP 59163369	A	19840914	JP 1984-30468	19840222
IL 71037	A	19880229	IL 1984-71037	19840222
FI 8400748	A	19840826	FI 1984-748	19840223
FI 79702	B	19891031		
FI 79702	C	19900212		
CA 1246574	A1	19881213	CA 1984-448124	19840223
DK 8401033	A	19840826	DK 1984-1033	19840224
ZA 8401373	A	19841031	ZA 1984-1373	19840224
ES 530046	A1	19841101	ES 1984-530046	19840224
HU 33478	A2	19841128	HU 1984-750	19840224
HU 192399	B	19870629		

PRIORITY APPLN. INFO.: DE 1983-3306771 A 19830225
EP 1984-101442 A 19840213

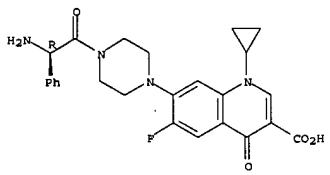
OTHER SOURCE(S): CASREACT 102:6542
GI



I

L4 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
AB Piperazinylquinolinecarboxylic acids I [R = H, halo, NO2; R1 = acyl, cyano, R6S; R2-R5 = H, Me, Et, Pr, Me2CH; R6 = MeO2C, Cl3C, F2CH, ClF2C] were prepared Thus, CH2(CO2Et)2 underwent Grignard acylation by 2,4,5-Cl2FC6H2COCl to give 2,4,5-Cl2FC6H2COCH(CO2Et)2. This was decarboxylated and condensed with HC(OEt)3 to give 2,4,5-Cl2FC6H2COC(:CHOEt)CO2Et. This was condensed with cyclopropylamine and cyclized by NaH to give
7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid. This was condensed with piperazine and acylated by Cl2FCSO2Cl to give I (R = F, R1 = Cl2FCSO2, R2-R5 = H) (II). Against Escherichia coli Neumann II had a min. inhibitory concentration of 0.03
(units not specified).
IT 93594-44-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 93594-44-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-(aminophenylacetyl)-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl